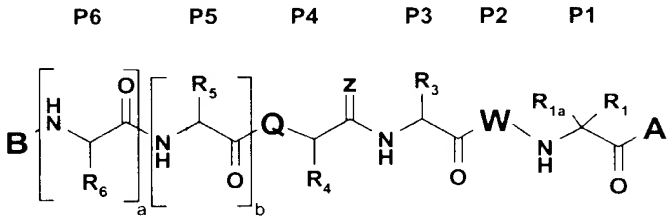
CLEAN COPY OF AMENDED CLAIMS

1. (Thrice Amended) A compound of formula I or the racemates, diastereoisomers or optical isomers thereof:



(I)

wherein Q is CH_2 or N-Y wherein Y is H or C_{1-6} alkyl;

a) when Q is CH_2, a is 0, b is 0, and B is an amide derivative of formula $R_{11a}N(R_{11b})$-C(O)- wherein R_{11a} is H; C_{1-10} alkyl; C_6 aryl; C_{7-10} alkylaryl; C_{3-7} cycloalkyl or C_{4-8} (alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C_{1-6} alkyl;

and R_{11b} is C_{1-6} alkyl substituted with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl; or C_{7-16} aralkyl substituted on the aromatic portion with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl;

or R_{11a} and R_{11b} are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C_{1-6} alkoxy) carbonyl;

or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, and

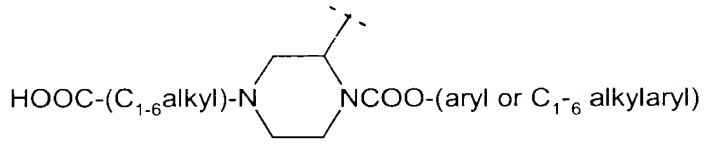
B is an acyl derivative of formula R_{11}-C(O)- or a sulfonyl of formula R_{11}-SO_2 wherein

R_{11} is (i) C_{1-10} alkyl optionally substituted with carboxyl or C_{1-6} alkanoyloxy; C_{1-6} alkoxy; or carboxyl substituted with 1 to 3 C_{1-6} alkyl substituents;

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl;

(iii) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, or amino optionally substituted with C_{1-6} alkyl; or

(iv) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, or amido optionally substituted with C_{1-6} alkyl,



or

R_6, when present, is C_{1-6} alkyl substituted with carboxyl;

R_5, when present, is C_{1-6} alkyl optionally substituted with carboxyl;

and

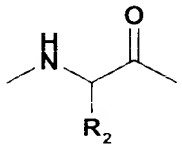
c) when Q is either CH_2 or N-Y, then

R_4 is C_{1-10} alkyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

z is oxo or thioxo;

R_3 is C_{1-10} alkyl optionally substituted with carboxyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

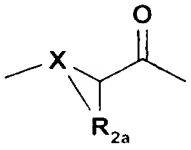
W is a group of formula II:



Formula II

wherein R_2 is C_{1-10} alkyl or C_{3-10} cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C_6 or C_{10} aryl or C_{7-16} aralkyl; or

W is a group of formula IIa:



Formula IIa

wherein X is CH or N; and

R_{2a} is divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH_2; carboxyl; R_{12}; CH_2-R_{12}, OR_{12}, $C(O)OR_{12}$, SR_{12}, NHR_{12} or $NR_{12}R_{12a}$;

wherein R_{12} and R_{12a} are independently a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkyl cycloalkyl) being optionally mono-, di- or tri-substituted with R_{15},

or R_{12} and R_{12a} is a C_6 or C_{10} aryl or C_{7-16} aralkyl optionally mono-, di- or tri-substituted with R_{15}, or R_{12} and R_{12a} is Het or (lower alkyl)-Het optionally mono-, di- or tri-substituted with R_{15},

wherein each R_{15} is independently C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally

mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2; OH; SH; halo; haloalkyl; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-16} aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with R_{16};

wherein R_{16} is C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

or X is CH or N; and R_{2a} is a divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with OR_{12a}, wherein R_{12a} is C_{7-16} aralkyl;

R_{1a} is hydrogen, and R_1 is the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or

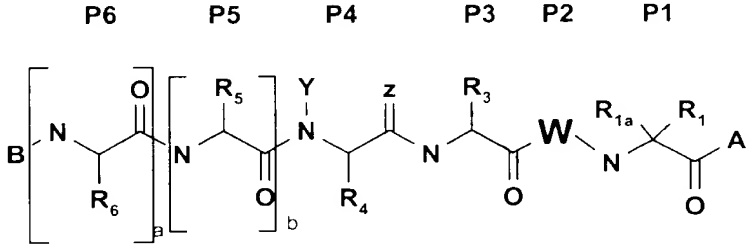
R_{1a} and R_1 together form a 3- to 6-membered ring optionally substituted with R_{14} wherein R_{14} is C_{1-6} alkyl, C_{3-5} cycloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_6 aryl or C_{7-10} aralkyl all optionally substituted with halo; and

A is hydroxy; or C_{1-6} alkylamino, di(C_{1-6} alkyl)amino or phenyl-C_{1-6} alkylamino;

wherein Het is a five-, six-, or seven-membered saturated or unsaturated, including aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, which heterocycle is optionally fused to a benzene ring;

or a non-toxic salt or ester thereof.

40. (Amended) A compound of formula (IA) or the racemates, diastereoisomers or optical isomers thereof.



(IA)

wherein Y is H or C_{1-6} alkyl;

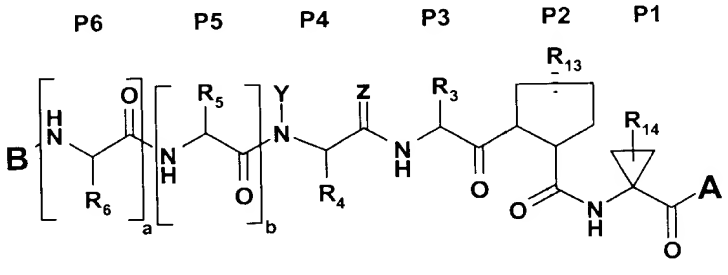
a is 0 or 1;

b is 0 or 1;

B is as defined in claim 1, paragraph b);

R_6, R_5, R_4, z, R_3, W, R_1, R_{1a} and A are as defined in claim 1.

45. (Twice Amended) A compound of formula IB or the diastereoisomers, optical isomers, racemic mixture of diastereoisomers or racemic mixture of optical isomers thereof:



(IB)

wherein

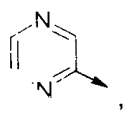
B, a, b, R_6, R_5, Y, R_4, Z, R_3, and A are as defined in claim 1,

R_{13} is R_{12}, OR_{12}, $C(O)OR_{12}$, SR_{12}, NHR_{12} or $NR_{12}R_{12a}$ wherein R_{12} and R_{12a} are as defined in claim 1; and

R_{14} is C_{1-6} alkyl, C_{2-6} alkenyl optionally substituted with halogen; C_{6-10} aryl or C_{7-10} aralkyl optionally substituted with halogen; or a non-toxic salt or ester thereof.

47. (Amended) The compound of formula IB according to claim 45, wherein B is an acyl derivative of formula $R_{11}C(O)$- wherein R_{11} is C_{1-6} alkyl; C_{1-6} alkoxy; C_{3-7} cycloalkyl optionally substituted with hydroxy; amido optionally substituted with C_{1-6} alkyl or Het; C_6 or C_{10} aryl, C_{7-16} aralkyl or Het all optionally substituted with C_{1-6} alkyl or hydroxy.

48. (Amended) The compound of formula IB according to claim 47, wherein B is $R_{11}C(O)$- wherein R_{11} is C_{1-6} alkyl,



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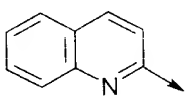

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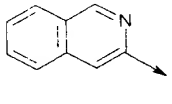

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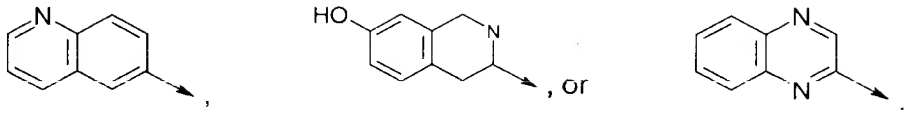
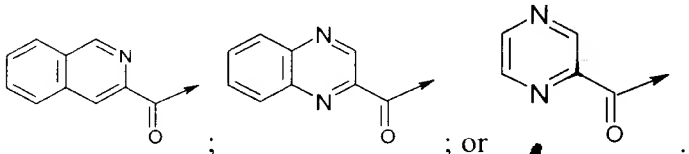
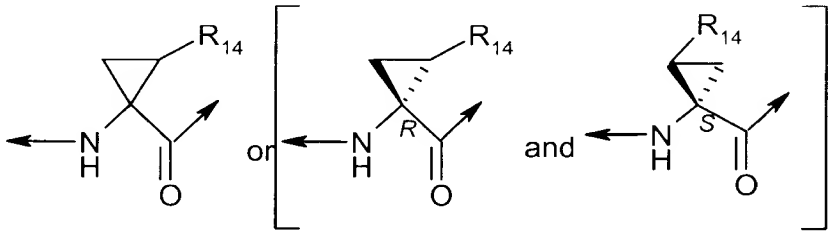


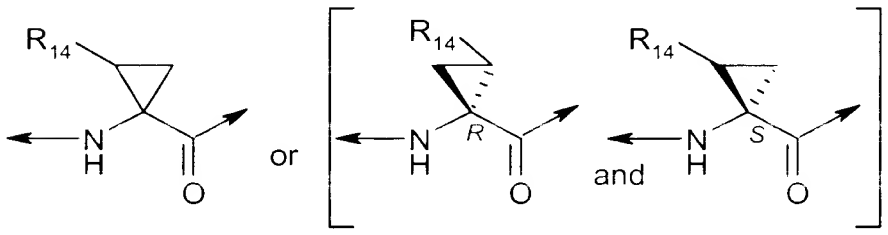
49. (Amended) The compound of formula IB according to claim 48, wherein B is acetyl;



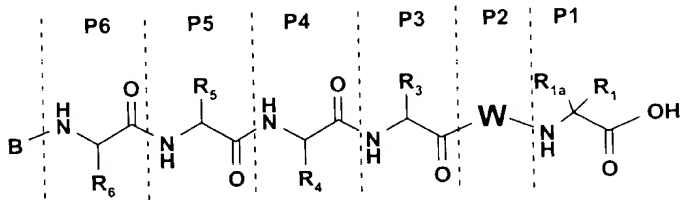
59. (Amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of diastereoisomers wherein R_{14} at position 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



60. (Amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of diastereoisomers wherein R_{14} at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:



72. (Amended) A compound of formula (I):



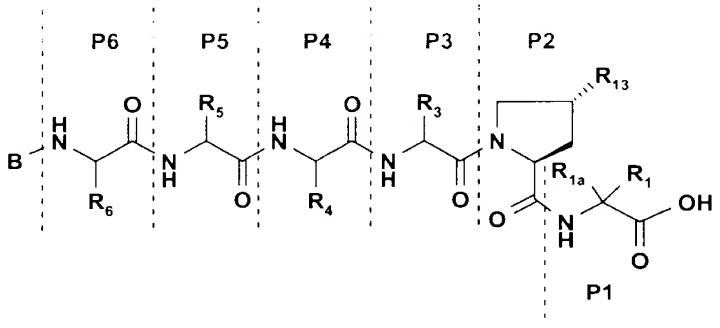
(I)

wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
101	Ac	Asp	Asp	Ile	Val	Pro	Cys;	8
102	Ac	Glu	Asp	Ile	Val	Pro	Cys;	9
103	DAD	---	Asp	Ile	Val	Pro	Cys;	10
104	Ac	Asp	D-Asp	Ile	Val	Pro	Cys;	-
105	Ac	Asp	D-Glu	Ile	Val	Pro	Cys;	-
106	Ac	Asp	Glu	Ile	Val	Pro	Cys;	11
107	Ac	Asp	Val	Ile	Val	Pro	Cys;	12
108	Ac	Asp	Tbg	Ile	Val	Pro	Cys;	13
109	Ac	Asp	Asp	Val	Val	Pro	Cys;	14
110	Ac	Asp	Asp	Chg	Val	Pro	Cys;	15
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys;	16
112	Ac	Asp	Asp	Leu	Val	Pro	Cys;	17
113	Ac	Asp	Asp	Ile	Ile	Pro	Cys;	18
114	Ac	Asp	Asp	Ile	Chg	Pro	Cys;	19
115	Ac	Asp	Asp	Ile	Val	Abu	Cys;	20
116	Ac	Asp	Asp	Ile	Val	Leu	Cys;	21
117	Ac	Asp	Asp	Ile	Val	Phe	Cys:	22
118	Ac	Asp	Asp	Ile	Val	Val	Cys;	23
119	Ac	Asp	Asp	Ile	Val	Ile	Cys;	24
120	Ac	Asp	Asp	Ile	Val	Ala	Cys;	25
121	Ac	Asp	Asp	Ile	Val	Hyp(4-Bn)	Cys;	26
122	Ac	Asp	Asp	Ile	Val	Pro	Abu;	27
123	Ac	Asp	Asp	Ile	Val	Pro	Nva;	28
124	Ac	Asp	Asp	Ile	Val	Pro	AlGly;	29
125	Ac	Asp	Asp	Ile	Val	Pro	Acpe;	30

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
126	Ac	Asp	Asp	Ile	Val	Pro	Acca;	31
127	Ac	Asp	Asp	Ile	Val	Pip	Nva;	32
128	Ac	Asp	D-Glu	Ile	Val	Pro	Nva;	-
129	Ac	Asp	Tbg	Ile	Val	Pro	Nva;	33
130	DAD	---	Asp	Ile	Val	Pro	Nva;	34
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys;	35
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca;	-
and								36
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca.	

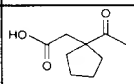
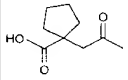
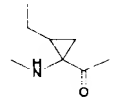
73. (Amended) A compound of formula (I):

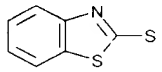
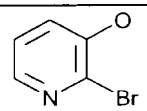
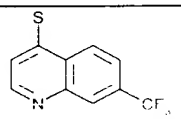
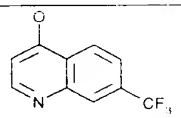
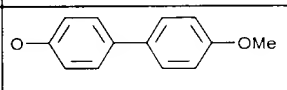
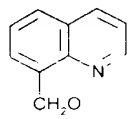
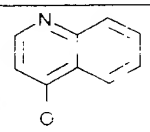
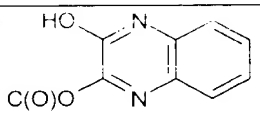
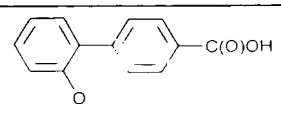


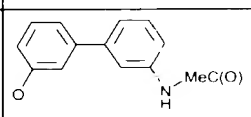
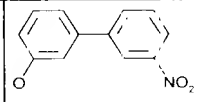
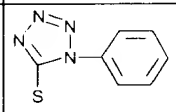
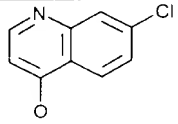
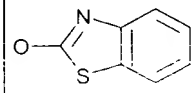
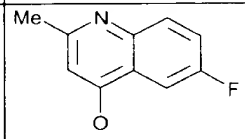
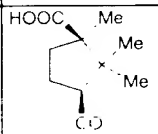
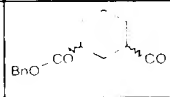
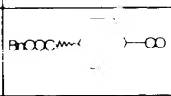
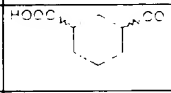
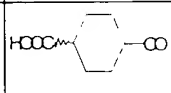
(I)

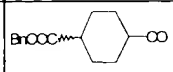
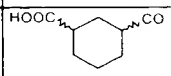
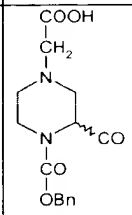
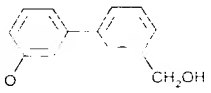
wherein B, P6, P5, P4, P3, R_{13} and P1 are as defined below, said compound selected from the group consisting of:

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
201	Ac	Asp	Asp	Ile	Val	O-Bn	Nva;	37
202	Ac	Asp	D-Val	Ile	Val	O-Bn	Nva;	-
203	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	-
204	Ac	Asp	Asp	Ile	Val	o-tolyl-methoxy	Nva;	38
205	Ac	Asp	Asp	Ile	Val	m-tolyl-methoxy	Nva;	39
206	Ac	Asp	Asp	Ile	Val	p-tolyl-methoxy	Nva;	40
207	Ac	Asp	Asp	Ile	Val	1-NpCH_2O	Nva;	41
208	Ac	Asp	Asp	Ile	Val	2-NpCH_2O	Nva;	42
209	Ac	Asp	Asp	Ile	Val	4-tert-butyl-phenyl)-	Nva;	43

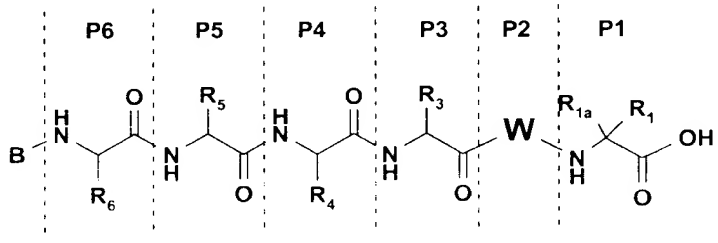
Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
						methoxy		
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys;	-
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva;	-
212	Ac	Asp	D-Glu	Ile	Val	O-Bn	Acca;	-
213	Ac	Asp	D-Glu	Ile	Val	2-NpCH_2O	Nva;	-
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH_2O	Nva;	-
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH_2O	Acca;	-
216	Ac	Asp	Asp	Ile	Val	Bn	Nva;	44
217	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_3$	Nva;	45
218	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	-
219	Ac	---	Asp	Ile	Val	1-NpCH_2O	Nva;	46
220	DAD	---	---	N(Me)Ile	Val	1-NpCH_2O	Nva;	-
221	DAD	---	---	Ile	Val	1-NpCH_2O	Nva;	-
222	DAE	---	---	Ile	Val	1-NpCH_2O	Nva;	-
223		---	---	Ile	Val	1-NpCH_2O	Nva;	-
224		---	---	Ile	Val	1-NpCH_2O	Nva;	-
225	Ac	---	---	Ile	Val	1-NpCH_2O	Nva;	-
226	DAE	---	---	Chg	Val	1-NpCH_2O	Acca;	-
227	Ac	---	---	Chg	Val	1-NpCH_2O	Acca;	-
228	Ac	---	---	Chg	Val	O-Bn	 ;	-
230	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_3$	Nva;	47
231	Ac	---	---	Chg	Chg	1-NpCH_2O	Acca;	
232	$AcOCH_2$-C(O)	---	---	Chg	Chg	1-NpCH_2O	Acca;	-
233	Ac	Asp	Glu	Ile	Val	(3I-Ph) CH_2O	Acca;	48
234	Ac	---	---	Chg	Chg	O-Bn	Acca;	-
235	Boc	---	---	Chg	Chg	1-NpCH_2O	Acca;	-
236	Ac	---	Gly	Thioxo-Ile	Val	1-NpCH_2O	Nva;	-

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
237	DAE	---	---	Ile	Val	1-NpCH_2O	Acca;	-
238	Ac	---	---	Chg	Val	(4Br-Ph)O	Acca;	-
239	Ac	---	---	Chg	Val	(2Br-Ph)O	Acca;	-
240	Ac	---	---	Chg	Val	(3Br-Ph)O	Acca;	-
241	Ac	---	---	Chg	Val		Acca;	-
242	Ac	---	---	Chg	Val	(4Br-Ph)S	Acca;	-
243	Ac	---	---	Chg	Val		Acca;	-
244	Ac	---	---	Chg	Val		Acca;	-
245	Ac	---	---	Chg	Val		Acca;	-
246	Ac	---	---	Chg	Val		Acca;	-
247	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_2$	Nva;	49
248	Ac	---	---	Chg	Chg		Acca;	-
249	Ac	---	---	Chg	Val	(4I-Ph)O	Acca;	-
250	Ac	---	---	Chg	Val		Acca;	-
251	Ac	---	---	Chg	Val		Acca;	-
252	Ac	---	---	Chg	Val	1-NpCH_2O	Nva;	-
253	Ac	---	---	Chg	Val		Acca;	-

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
254	Ac	---	---	Chg	Val		Acca;	-
255	Ac	---	---	Chg	Val		Acca;	-
256	Ac	---	---	Chg	Val		Acca;	-
257	Ac	---	---	Chg	Val		Acca;	-
258	Ac	---	---	Chg	Val		Acca;	-
259	Ac	---	---	Chg	Val		Acca;	-
260	Ac	Asp	D-Glu	Ile	Val	O-Bn	Cys;	-
261	Ac	---	---	Chg	Val	O-Bn	Cys;	-
262	Ac	---	---	Ile	Val	1-NpCH_2O	Acca;	-
263		---	---	Ile	Val	1-NpCH_2O	Acca;	-
264		---	---	Ile	Val	1-NpCH_2O	Acca;	-
265		---	---	Ile	Val	1-NpCH_2O	Acca;	-
266		---	---	Ile	Val	1-NpCH_2O	Acca;	-
267		---	---	Ile	Val	1-NpCH_2O	Acca;	-
268	Ac	---	---	Chg	Val	(3Br-Ph)CH_2O	Acca;	-

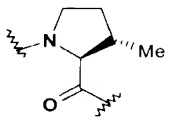
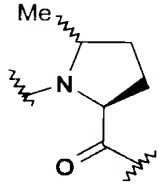
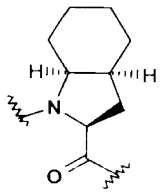
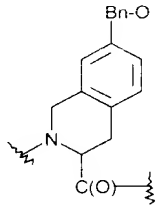
Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
269		---	---	Chg	Val	1-NpCH_2O	Acca;	-
270		---	---	Chg	Val	1-NpCH_2O	Acca;	-
271		---	---	Chg	Val	1-NpCH_2O	Acca;	-
272	Ac	---	---	Chg	Val	(3,5-Br_2-Ph)CH_2O	Acca;	-
273	Ac	Asp	Asp	Ile	Val	H	Nva;	50
274	Ac	Asp	D-Val	Ile	Val	H	Cys;	-
and 275	Ac	---	---	Chg	Val		Acca.	-

74. (Amended) A compound of formula (I):

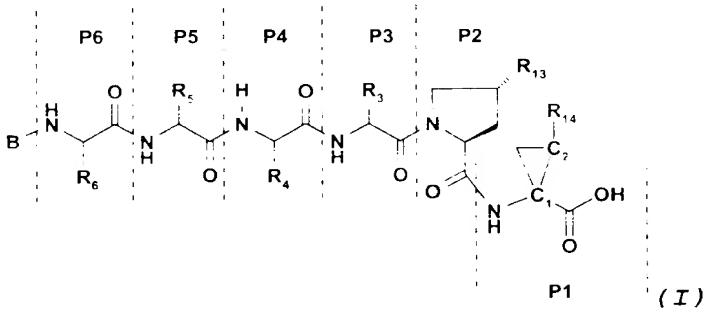


(I)

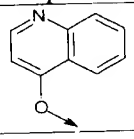
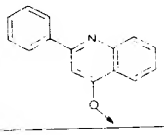
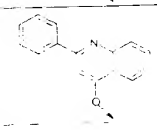
wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
301	Ac	Asp	Asp	Ile	Val		Nva;	51
302	Ac	Asp	Asp	Ile	Val		Nva;	52
303	Ac	Asp	Asp	Ile	Val		Nva;	53
and 304	Ac	---	---	Chg	Val		Acca.	-

76. (Amended) A compound of formula (I):



wherein B, P6, P5, P4, P3, R_{13}, R_{14} and P1 are as defined below, said compound selected from the group consisting of:

Tab 5 Cpd	B	P6	P5	P4	P3	R_{13}	R_{14}	P1 $C_1 - C_2$
501	Ac	---	---	Chg	Val	OBn	Et	*1R, 2R*
502	Ac	---	---	Chg	Val	OBn	Et	*1R, 2?*
503	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2?*
504	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2?*
505	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2R*
506	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1S, 2S*
507	Ac	---	---	Chg	Val	1-NpCH$_2$O	Me	*1R, 2?*
508	Ac	---	---	Chg	Val	1-NpCH$_2$O	CHMe$_2$	*1R, 2?*
509	Ac	Asp	D-GLU	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2R*
510	Ac	---	---	Chg	Val	1-NpCH$_2$O	CH$_2$O CH$_2$Ph	*1R, 2?*
511	Ac	---	---	Chg	Val	1-NpCH$_2$O	CH$_2$O CH$_2$Ph	*1R, 2?*
512	Ac	---	---	Chg	Val	1-NpCH$_2$O	(CH$_2$)$_2$ Ph	*1R, 2?*
513	Ac	---	---	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
514	Ac	---	---	Chg	Val	1-NpCH$_2$O	Et	*1S,2S*
515	Ac	---	---	Chg	Val	1-NpCH$_2$O	Bz	*1R, 2?*
516	Ac	---	---	Chg	Val	1-NpCH$_2$O	Bz	*1R, 2?*
517	Ac	Asp	D-GLU	Ile	Val	OBn	Et	*1R,2R*
518	Ac	Asp	D-GLU	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
519	Ac	---	---	Chg	Val	1-NpCH$_2$O	Pr	*1R, 2?*
520	Ac	---	---	Chg	Val	1-NpCH$_2$O	Pr	*1R, 2?*
521	Ac	Asp	D-VAL	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
522	Ac	---	---	Chg	Val		vinyl	*1S,2R*
523	Ac	---	---	Chg	Val		ethyl	*1R,2S*
524	Ac	---	---	Chg	Val		propyl	*1R, 2R*

REMARKS

The specification and claims have been amended to insert the appropriate Sequence ID Nos. next to the particular amino acid sequences that are listed in the attached Sequence Listing.